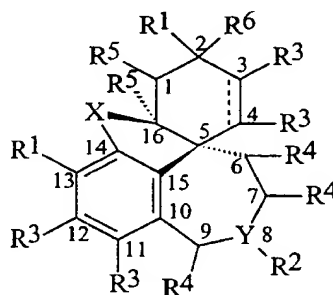


## CLAIMS

- 5        1.     The use of a pharmaceutically acceptable  
         cholinesterase inhibitor or a pro-drug therefor in the  
         manufacture of a medicament for combatting attention  
         deficit disorders.
- 10       2.     The use is claimed in claim 1 wherein the disorder  
         is attention deficit hyperactivity disorder.
3.     The use as claimed in claim 1 wherein the disorder  
         is a hyperkinetic disorder.
- 15       4.     The use as claimed in any one of the preceding  
         claims wherein the cholinesterase inhibitor is an acetyl  
         cholinesterase inhibitor.
- 20       5.     The use as claimed in any one of the preceding  
         claims wherein the cholinesterase inhibitor is active  
         substantially selectively at nicotinic receptor sites.
6.     The use as claimed in any one of the preceding  
25       claims wherein the cholinesterase inhibitor is capable  
         of crossing the blood brain barrier.
7.     The use as claimed in any one of claims 1 to 4  
         wherein the cholinesterase inhibitor is selected from  
30       physostigmine, tacrine and tacrine analogues, fasciculin,  
         metrifonate, heptyl-physostigmine, norpyridostigmine,  
         norneostigmine, huperazine, donepezil and pro-drugs of  
         any of these.
- 35       8.     The use is claimed in any one of claims 1 to 6  
         wherein the cholinesterase inhibitor is selected from  
         glantamine, epigalantamine and norgalantamine, and

analogues, salts and derivatives of any of these.

9. The use as claimed in any of the preceding claims wherein the cholinesterase inhibitor is selected from galantamine and its derivatives of formula (I):



wherein the broken line represents an optionally present double bond between carbon atoms 3 and 4, each  $R_1$  is independently selected from hydrogen, hydroxyl, straight or branched chain alkyl, hydroxyalkyl, carboxyalkyl amino, alkylamino, acyl, lower alkanoyl, cyano, sulfhydryl,  $C_{1-6}$ alkoxy, alkylthio, aryloxy, arylthio,  $R_3$ -substituted aryloxy,  $R_3$ -substituted arylthio, aralkoxy, an optionally  $R_3$ -substituted aliphatic or aryl carbamyl group, aralkylthio,  $R_3$ -substituted aralkoxy,  $R_3$ -substituted aralkylthio, aryloxymethyl,  $R_3$ -substituted aryloxymethyl, alkanoyloxy, hydroxy-substituted alkanoyloxy, benzoyloxy,  $R_3$ -substituted benzoyloxy, aryloxy carbonyl and  $R_3$ -substituted aryloxy carbonyl,

$R_2$  is selected from hydrogen, straight or branched chain  $C_{1-6}$ alkyl, alkenyl or alkaryl group, optionally substituted by a halogen atom or a cycloalkyl, hydroxy, alkoxy, nitro, amino, aminoalkyl, acylamino, heteroaryl, heteroaryl-alkyl, aryl, arylalkyl, cyano, amyl, aroyl, cycloalkylmethyl, allyl, phenyl,  $R_3$ -substituted phenyl, alkylphenyl,  $R_3$ -substituted alkylphenyl, heterocyclyl selected from  $\alpha$ - or  $\beta$ -furyl,  $\alpha$ - or  $\beta$ -thienyl, thenyl,

pyridyl, pyrazinyl, and pyrimidyl, alkyl-heterocyclyl or R'-substituted heterocyclyl, where R' is alkyl or alkoxy,

each R<sub>3</sub> is independently selected from hydrogen, hydroxyl, sulfhydryl, alkyl, hydroxyalkyl, aryl, aralkyl, alkoxy, mercaptoalkyl, aryloxy, thiaryloxy, alkaryloxy, mercaptoalkaryl, nitro, amino, N-alkylamino, N-arylamino, N-alkaryl amino, fluoro, chloro, bromo, iodo, and trifluoromethyl,

each R<sub>4</sub> is independently selected from hydrogen, halo, trifluoromethyl or C<sub>1-4</sub>-alkyl,

each R<sub>5</sub> is independently selected from hydrogen or hydroxymethyl,

R<sub>6</sub> is hydrogen or C<sub>1-6</sub>alkyl, or when R<sub>1</sub> at carbon atom 2 is hydroxyl, R<sub>6</sub> may be a moiety of formula I wherein R<sub>6</sub> is hydrogen and R<sub>1</sub> is a linking bond; or

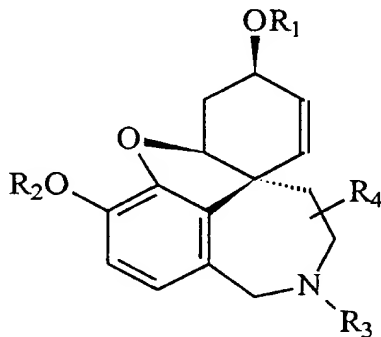
R<sub>1</sub> at carbon atom 2 and R<sub>6</sub> may jointly form semicarbazone,

X is oxygen or NR<sub>3</sub>,

Y is nitrogen or phosphorus,

and methylenedioxy derivatives thereof and pharmaceutically acceptable acid addition salts thereof.

10. The use as claimed in any one of the preceding claims wherein the cholinesterase inhibitor is selected from compounds of formula II



II

wherein R<sup>1</sup> and R<sup>2</sup> which may be the same or different

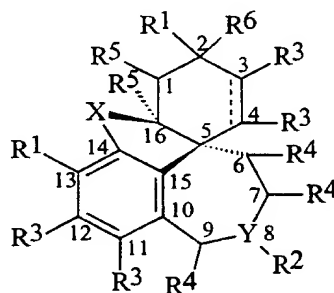
each represents a hydrogen atom or an acyl group, such as a lower alkanoyl group, e.g. an acetyl group or a straight-chained or branched alkyl group, e.g. methyl, ethyl, propyl, or isopropyl;

5  $R^3$  is a straight or branched chain alkyl, alkenyl or alkaryl group which is optionally substituted by a halogen atom or a cycloalkyl, hydroxy, alkoxy, nitro, amino, aminoalkyl, acylamino, heteroaryl, heteroarylalkyl, aroyl, aroylalkyl or cyano group; and  
 10  $R^4$  represents a hydrogen or a halogen atom attached to at least one of the ring carbons of the tetracyclic skeleton, and pharmaceutically acceptable salts thereof, such as a hydrobromide, hydrochloride, methylsulphate or methiodide.

15

11. The use according to any one of the preceding claims where the cholinesterase inhibitor is galantamine or a salt thereof.

20 12. The use of galantamine or a derivative thereof of formula I:



30

wherein the broken line represents an optionally present double bond between carbon atoms 3 and 4, each  $R_1$  is  
 35 independently selected from hydrogen, hydroxyl, straight or branched chain alkyl, hydroxyalkyl, carboxyalkyl amino, alkylamino, acyl, lower alkanoyl, cyano,

sulfhydryl, C<sub>1-6</sub>alkoxy, alkylthio, aryloxy, arylthio, R<sub>3</sub>-substituted aryloxy, R<sub>3</sub>-substituted arylthio, aralkoxy, an optionally R<sub>3</sub>-substituted aliphatic or aryl carbamyl group, aralkylthio, R<sub>3</sub>-substituted aralkoxy, R<sub>3</sub>-substituted aralkylthio, aryloxymethyl, R<sub>3</sub>-substituted aryloxymethyl, alkanoyloxy, hydroxy-substituted alkanoyloxy, benzoyloxy, R<sub>3</sub>-substituted benzoyloxy, aryloxy-carbonyl and R<sub>3</sub>-substituted aryloxy-carbonyl,

R<sub>2</sub> is selected from hydrogen, straight or branched chain C<sub>1-6</sub>alkyl, alkenyl or alkaryl group, optionally substituted by a halogen atom or a cycloalkyl, hydroxy, alkoxy, nitro, amino, aminoalkyl, acylamino, heteroaryl, heteroaryl-alkyl, aryl, arylalkyl, cyano, amyl, aroyl, cycloalkylmethyl, allyl, phenyl, R<sub>3</sub>-substituted phenyl, alkylphenyl, R<sub>3</sub>-substituted alkylphenyl, heterocyclyl selected from  $\alpha$ - or  $\beta$ -furyl,  $\alpha$ - or  $\beta$ -thienyl, thenyl, pyridyl, pyrazinyl, and pyrimidyl, alkyl-heterocyclyl or R'-substituted heterocyclyl, where R' is alkyl or alkoxy,

each R<sub>3</sub> is independently selected from hydrogen, hydroxyl, sulfhydryl, alkyl, hydroxyalkyl, aryl, aralkyl, alkoxy, mercaptoalkyl, aryloxy, thiaryloxy, alkaryloxy, mercaptoalkaryl, nitro, amino, N-alkylamino, N-arylamino, N-alkarylamino, fluoro, chloro, bromo, iodo, and trifluoromethyl,

each R<sub>4</sub> is independently selected from hydrogen, halo, trifluoromethyl or C<sub>1-4</sub>-alkyl,

each R<sub>5</sub> is independently selected from hydrogen or hydroxymethyl,

R<sub>6</sub> is hydrogen or C<sub>1-6</sub>alkyl, or when R<sub>1</sub> at carbon atom 2 is hydroxyl, R<sub>6</sub> may be a moiety of formula I wherein R<sub>6</sub> is hydrogen and R<sub>1</sub> is a linking bond; or

R<sub>1</sub> at carbon atom 2 and R<sub>6</sub> may jointly form semicarbazone,

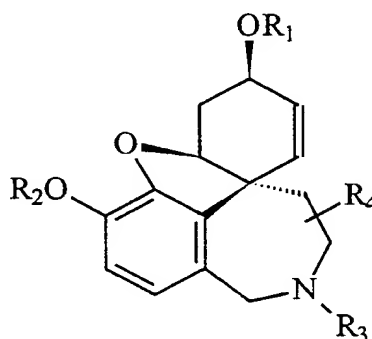
X is oxygen or NR<sub>3</sub>,

Y is nitrogen or phosphorus,

and methylenedioxy derivatives thereof and

pharmaceutically acceptable acid addition salts thereof  
in the manufacture of a medicament for combatting  
attention deficit disorders.

- 5 13. The use of galantamine or a derivative thereof of  
formula II



II

wherein  $R^1$  and  $R^2$  which may be the same or different  
20 each represents a hydrogen atom or an acyl group, such  
as a lower alkanoyl group, e.g. an acetyl group or a  
straight-chained or branched alkyl group, e.g. methyl,  
ethyl, propyl, or isopropyl;

$R^3$  is a straight or branched chain alkyl, alkenyl or  
25 alkaryl group which is optionally substituted by a  
halogen atom or a cycloalkyl, hydroxy, alkoxy, nitro,  
amino, aminoalkyl, acylamino, heteroaryl,  
heteroarylalkyl, aroyl, aroylalkyl or cyano group; and

$R^4$  represents a hydrogen or a halogen atom attached  
30 to at least one of the ring carbons of the tetracyclic  
skeleton,

and pharmaceutically acceptable salts thereof, such  
as a hydrobromide, hydrochloride, methylsulphate or  
methiodide in the manufacture of a medicament for  
35 combatting attention deficit disorders.

14. The use of galantamine or a salt thereof in the

manufacture of a medicament for combatting attention deficit disorders.

5 15. A method of combatting attention deficit disorders comprising administering a pharmaceutically acceptable cholinesterase inhibitor or a pro-drug therefor.

10 16. A method as claimed in claim 15 wherein the disorder is as defined in claim 2 or claim 3.

17. A method as claimed in claim 15 or claim 16 wherein the cholinesterase inhibitor is as defined in any one of claims 4 to 14.